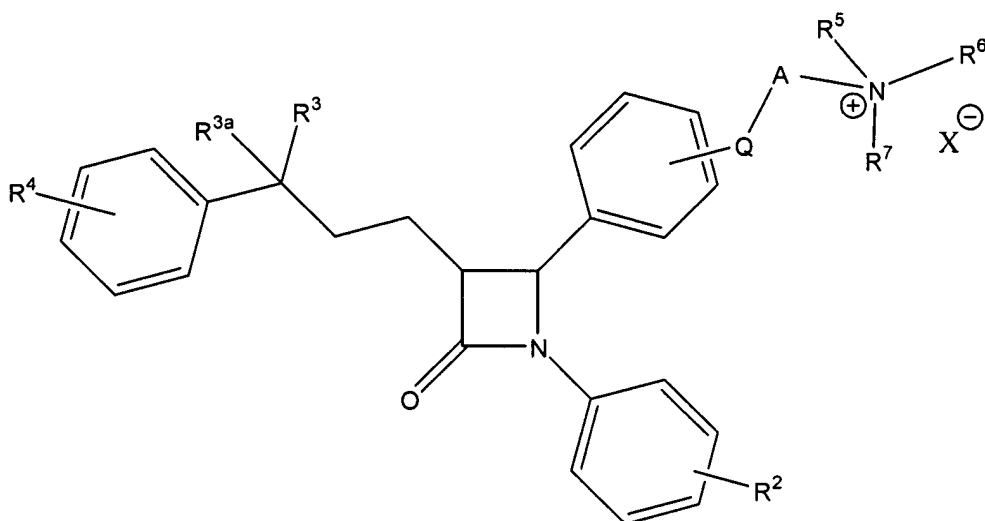
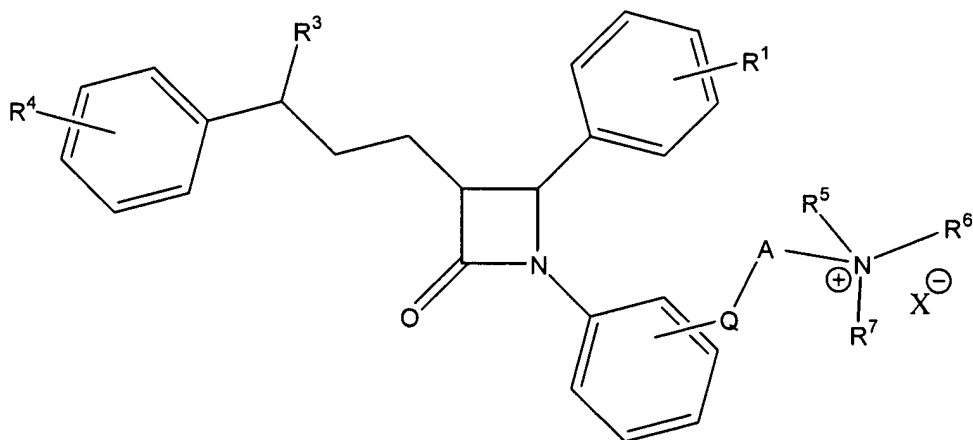


## Claims:

## 1. A compound of formula



or



wherein

$R^1$  and  $R^2$  are chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl, lower aminoalkyl, alkylsulfonyl, arylsulfonyl, a sugar, a glucuronide and a sugar carbamate;

$R^3$  is chosen from H, -OH, fluoro and -O-loweralkyl;

$R^{3a}$  is chosen from H and fluoro, or  $R^{3a}$  and  $R^3$  together are =O;

$R^4$  is chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl and lower aminoalkyl, alkylsulfonyl, arylsulfonyl;

Q is chosen from a direct bond, -O-, -S-, -NH-, -CH<sub>2</sub>O-, -CH<sub>2</sub>NH-, -C(=O)-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO- ;

A is chosen from C<sub>2</sub> to C<sub>20</sub> hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted aryl, substituted arylalkyl, and oxaalkyl of four to fifty carbons; and, when Q is a direct bond, -C(=O) or -O(C=O)-, A may additionally be methylene;

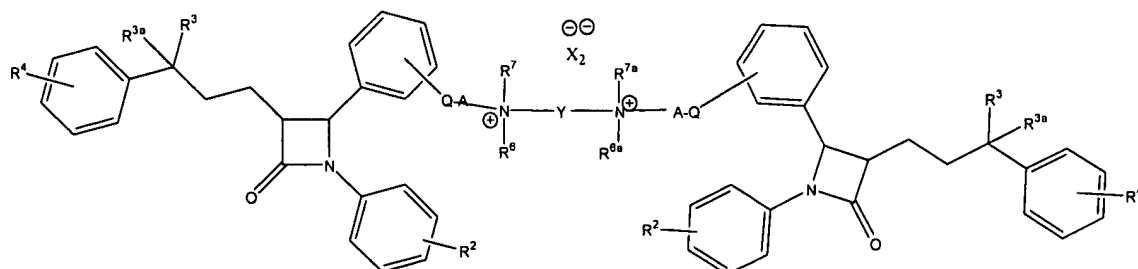
$R^5$  forms a five- to seven-membered ring with A or  $R^6$ ;

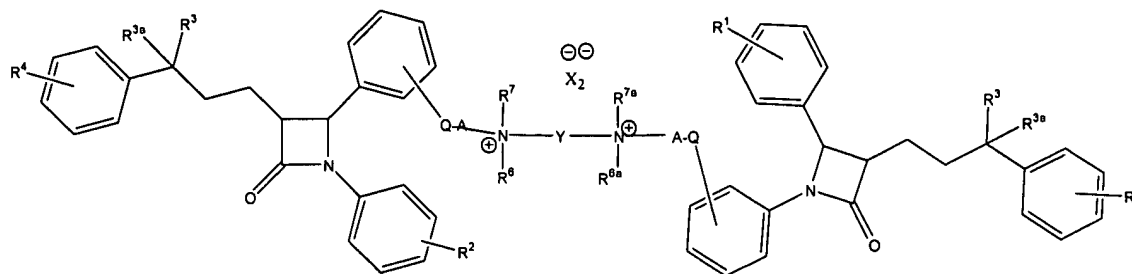
$R^6$  is alkyl, forms a double bond with A or forms a five- to seven-membered ring with  $R^5$ ;

$R^7$  is alkyl or together with  $R^5$  or  $R^6$  forms a second five- to seven-membered ring; and when Q is not -O- or -CH<sub>2</sub>NH-,  $R^5$  may additionally be alkyl or aryl; and

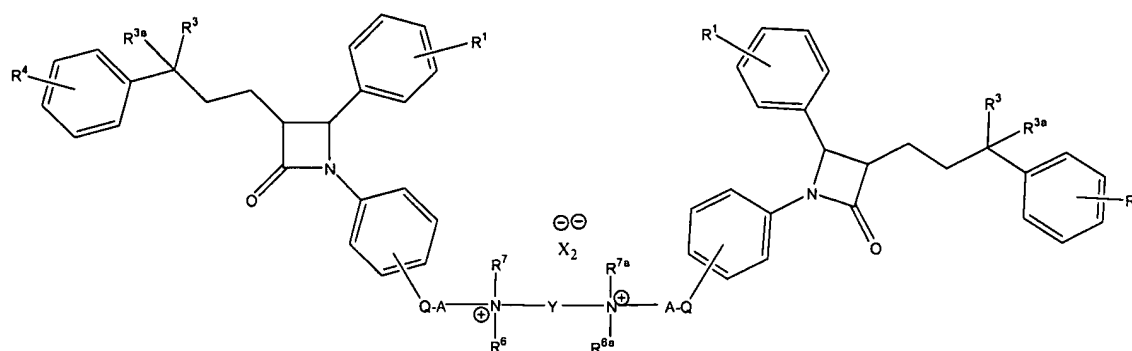
X is an anion.

2. A compound chosen from three isomers of formulae:





and



wherein

$R^1$  and  $R^2$  are chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl, lower aminoalkyl, alkylsulfonyl, arylsulfonyl, a sugar, a glucuronide and a sugar carbamate;

$R^3$  is chosen from H, -OH, fluoro and -O-loweralkyl;

$R^{3a}$  is chosen from H and fluoro, or  $R^{3a}$  and  $R^3$  together are =O;

$R^4$  is chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl and lower aminoalkyl, alkylsulfonyl, arylsulfonyl;

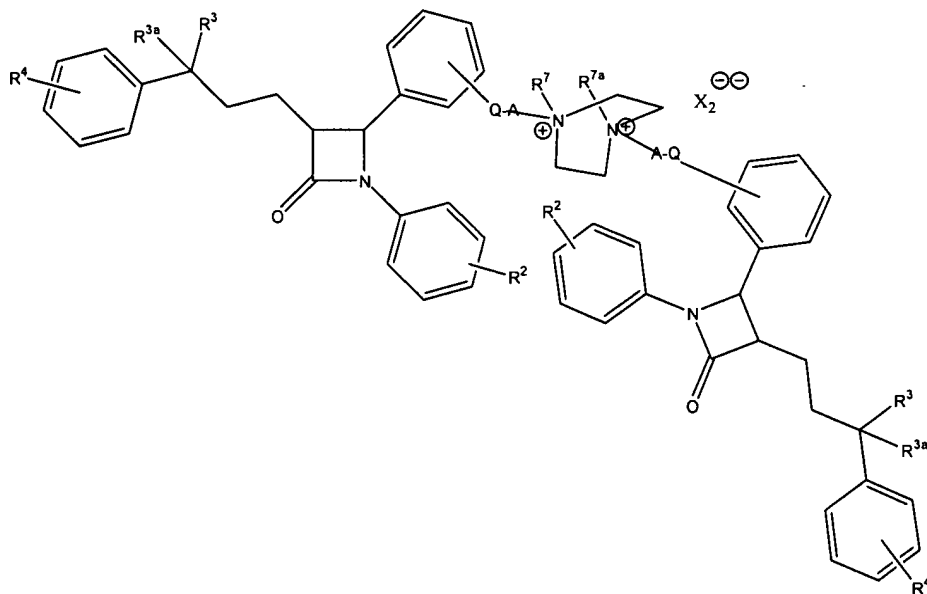
Q is chosen from a direct bond, -O-, -S-, -NH-, -CH<sub>2</sub>O-, -CH<sub>2</sub>NH-, -C(=O)-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO- ;

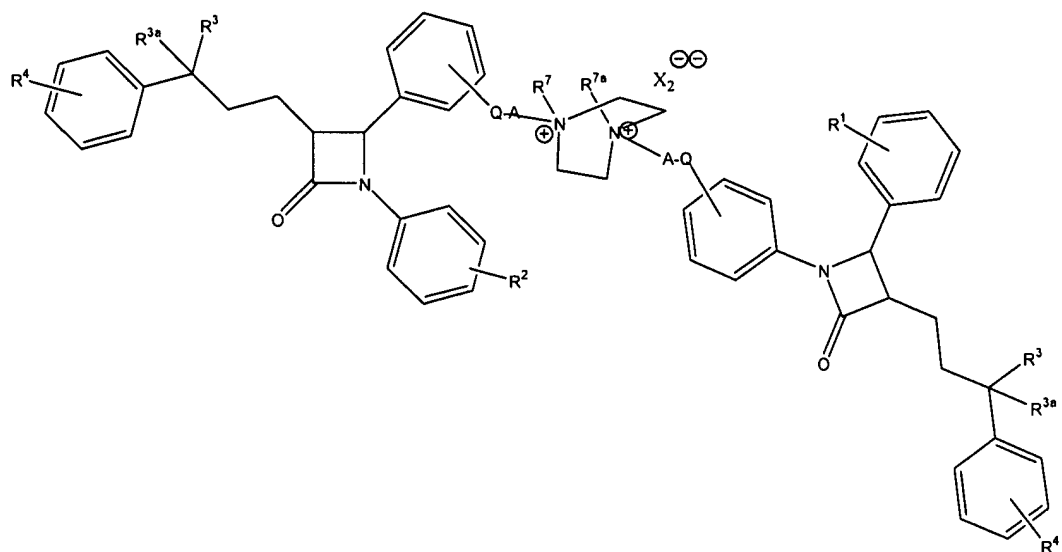
A is chosen from  $C_2$  to  $C_{20}$  hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted aryl, substituted arylalkyl and oxaalkyl of four to fifty carbons; and, when Q is a direct bond,  $-C(=O)$  or  $-O(C=O)-$ , A may additionally be methylene;

Y is chosen from  $C_2$  to  $C_{20}$  hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted arylalkyl and oxaalkyl of four to fifty carbons;

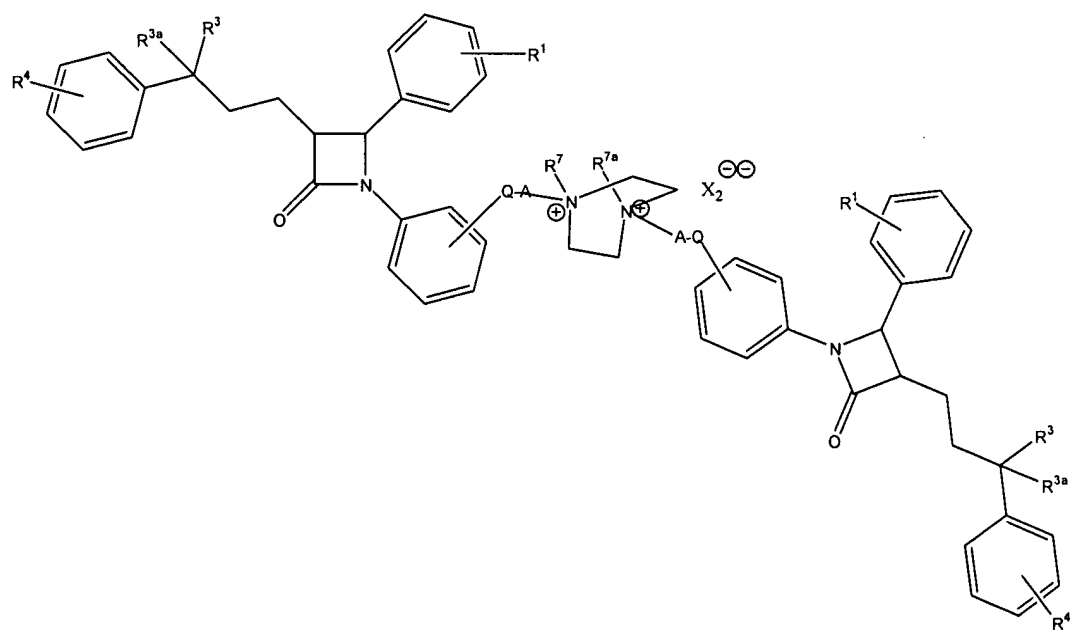
$R^6$  and  $R^{6a}$  are alkyl or together with Y form a first five- to seven-membered ring;  
 $R^7$  and  $R^{7a}$  are alkyl or together form a second five- to seven-membered ring; and  
 $X_2$  is either a dianion or two monoanions.

3. A compound according to claim 2 chosen from three isomers of formulae:





and



4. A compound according to any of claims 1, 2 or 3 wherein  $R^7$  forms a second six-membered ring.

5. A compound according to any of claims 1 to 4 wherein -Q-A- is chosen from (C<sub>2</sub> to C<sub>20</sub> hydrocarbon), -O-(C<sub>2</sub> to C<sub>20</sub> hydrocarbon), -NH(C<sub>2</sub> to C<sub>20</sub> hydrocarbon), -NHCO(C<sub>2</sub> to C<sub>20</sub> hydrocarbon) and oxaalkyl of four to fifty carbons.

6. A compound according to any of claims 1 to 5 wherein

R<sup>1</sup> and R<sup>2</sup> are chosen from H, halogen, -OH, and methoxy;

R<sup>3</sup> is -OH; and

R<sup>4</sup> is fluoro.

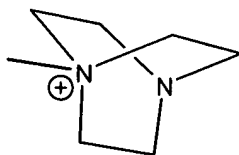
7. A compound according to any of claims 1 to 5 wherein

R<sup>1</sup> and R<sup>2</sup> are chosen from a sugar, a glucuronide and a sugar carbamate;

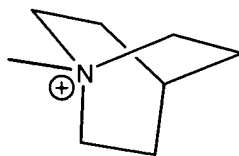
R<sup>3</sup> is -OH; and

R<sup>4</sup> is fluoro.

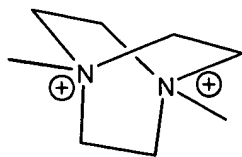
8. A compound according to any of claims 1 or 4 to 7 wherein R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> taken together form a diazabicyclooctane quat:



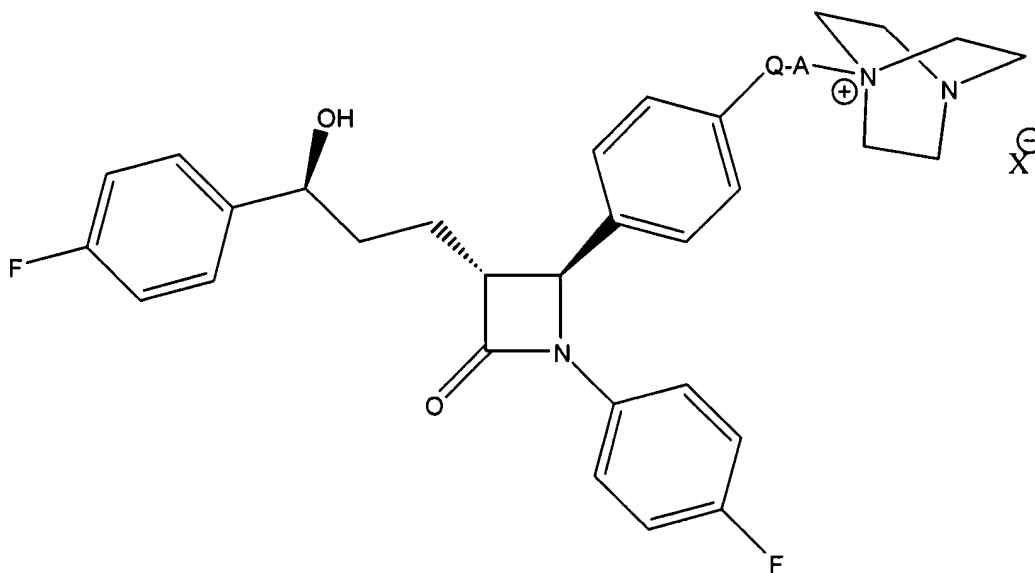
9. A compound according to any of claims 1 or 4 to 7 wherein R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> taken together form a quinuclidinium quat:



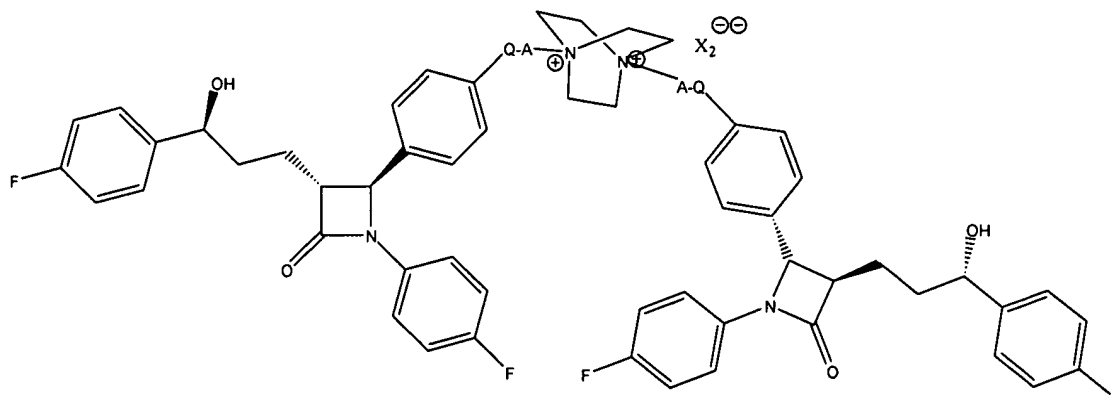
10. A compound according to any of claims 2 to 7 wherein R<sup>7</sup> and R<sup>7a</sup> taken together form a diazabicyclooctane bisquat:



11. A compound according to claim 8 of formula:



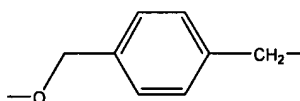
12. A compound according to claim 10 of formula:



13. A compound according to any of claims 2 to 7 wherein  $R^6$ ,  $R^{6a}$ ,  $R^7$  and  $R^{7a}$  are alkyl

and Y is chosen from C<sub>2</sub> to C<sub>10</sub> alkylene and xylylene.

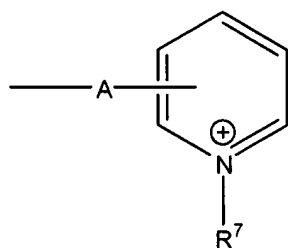
14. A compound according to any of claims 1 to 13 wherein -Q-A- is



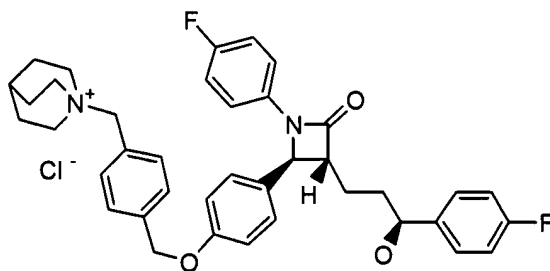
15. A compound according to any of claims 1, 6 or 7 wherein R<sup>5</sup> forms a six-membered ring with A;

R<sup>6</sup> forms a double bond with A; and

R<sup>7</sup> is alkyl;

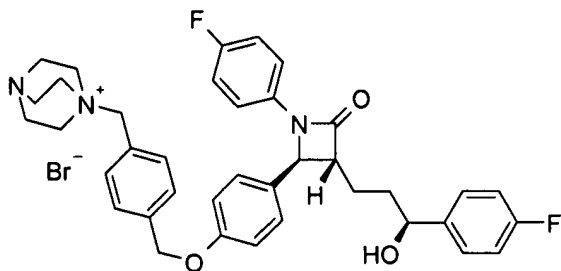


16. 1-{4-[(4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-1-azoniabicyclo[2.2.2]octane chloride

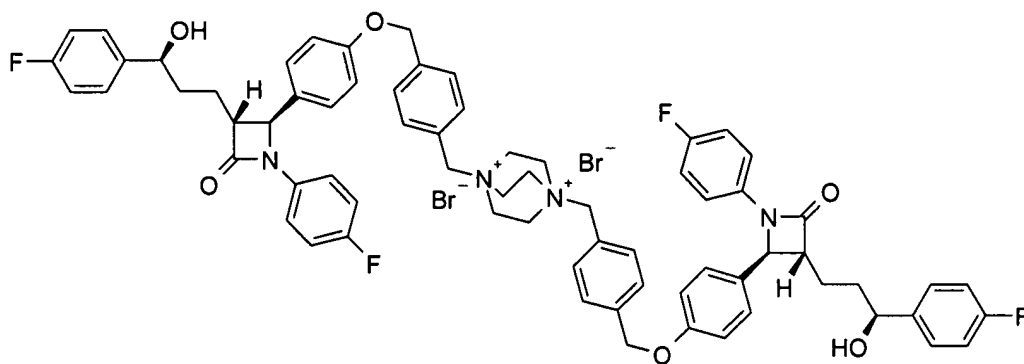




17. 1-{4-[4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-4-aza-1-azoniabicyclo[2.2.2]octane



18. 1,4-bis{4-[4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-1,4-diazoniabicyclo[2.2.2]octane



19. A compound according to any of claims 1 to 18 wherein X or X<sub>2</sub> is a pharmaceutically acceptable anion.
20. A compound according to claim 19 wherein X is an anion chosen from the group consisting of hydroxide, acetate, benzenesulfonate (besylate), benzoate, bicarbonate, bisulfate, carbonate, camphorsulfonate, citrate, ethanesulfonate, fumarate, gluconate, glutamate, bromide, chloride, isethionate, lactate maleate, malate, mandelate, methanesulfonate, mucate, nitrate, pamoate, pantothenate, phosphate, succinate, sulfate, tartrate and p-toluenesulfonate.

21. A compound according to any of claims **2** to **7**, **10**, **12**, **13** or **19** wherein X<sub>2</sub> is a dianion chosen from the group consisting of carbonate, citrate, fumarate, lactate, maleate, malate, phosphate, succinate, sulfate and tartrate.
22. A pharmaceutical formulation comprising a compound according to any of claims **19** to **21** and a pharmaceutically acceptable carrier.
23. A pharmaceutical formulation according to claim **22** additionally comprising an inhibitor of cholesterol biosynthesis.
24. A method for treating a disorder of lipid metabolism comprising administering a to a mammal a therapeutically effective amount of a compound according to any of claims **19** to **21**.
25. A method according to claim **24**, wherein said disorder of lipid metabolism is hyperlipidemia.
26. A method according to claim **24**, wherein said disorder of lipid metabolism is arteriosclerosis.
27. A method for inhibiting the absorption of cholesterol from the intestine of a mammal, which comprises administering an effective cholesterol-absorption-inhibiting amount of a compound according to any of claims **19** to **21** to the mammal.
28. A method for reducing the blood plasma or serum concentrations of LDL cholesterol in a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims **19** to **21** to the mammal.
29. A method for reducing the concentrations of cholesterol and cholesterol ester in the blood plasma or serum of a mammal, which comprises administering and effective

cholesterol and cholesterol ester reducing amount of a compound according to any of claims **19** to **21** to the mammal.

30. A method for increasing the fecal excretion of cholesterol in a mammal, which comprises administering an effective cholesterol fecal excretion increasing amount of a compound according to any of claims **19** to **21** to the mammal.

31. A method for the prophylaxis or treatment of a clinical condition in a mammal, for which a cholesterol uptake inhibitor is indicated, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

32. A method for reducing the incidence of coronary heart disease-related events in a mammal, which comprises administering an effective coronary heart disease-related events reducing amount of a compound according to any of claims **19** to **21** to the mammal.

33. A method for reducing the concentration of cholesterol in the blood plasma or serum of a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims **19** to **21** to the mammal.

34. A method for reducing blood plasma or serum concentrations of C-reactive protein (CRP) in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

35. A method for reducing blood plasma or serum concentrations of triglycerides in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

36. A method for increasing blood plasma or serum concentrations of HDL cholesterol of a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

37. A method for reducing blood plasma or serum concentrations of apolipoprotein B, in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.